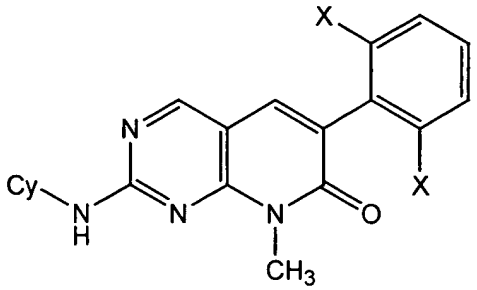
CLAIMS

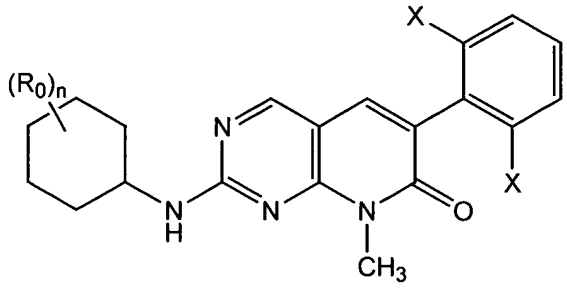
1. A compound of the formula:



wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine; and

Cy is a substituted or unsubstituted cylic aliphatic, cyclic heterocyclic, aryl, heteroaryl, alkylaryl, arylalkyl, alkylheteroaryl, or heteroarylalkyl moiety; and pharmaceutically acceptable derivatives thereof.

2. The compound of claim 1 of formula:



wherein each X independently is hydrogen, fluorine, chlorine, bromine, or iodine;

n is 1, 2, 3, 4, or 5; and

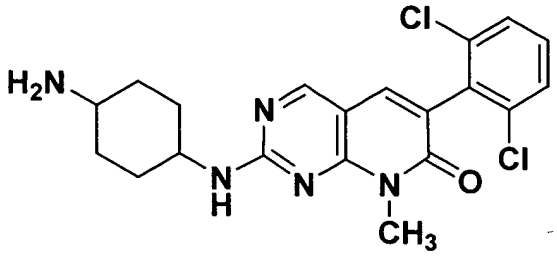
each R_0 is independently hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted with one or two alkyl

or aryl moieties, N-hydroximino, or N-alkoxyimino; and pharmaceutically acceptable derivatives thereof.

3. The compound of claim 1, wherein X is chlorine.

4. The compound of claim 1, wherein n is 1.

5. The compound of claim 1 selected from the group consisting of the formulae:



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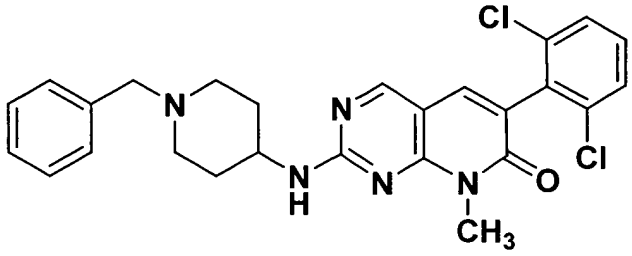

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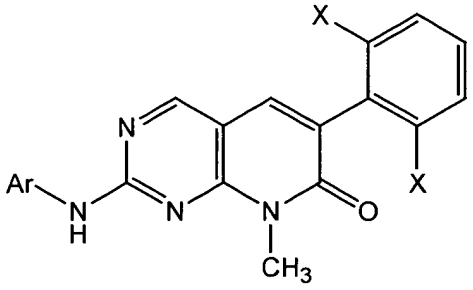
6. The compound of claim 1 of formula:



wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine; and

Ar is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; and pharmaceutically acceptable derivatives thereof.

7. The compound of claim 6, wherein X is chlorine.

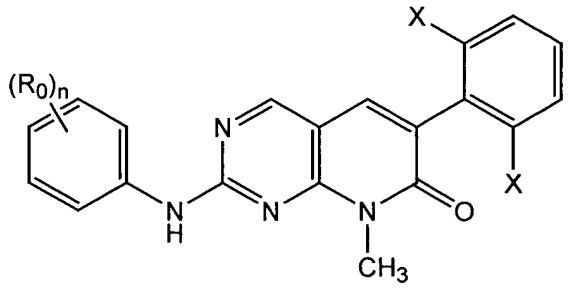
8. The compound of claim 6, wherein Ar is a substituted or unsubstituted carbocyclic aromatic moiety.

9. The compound of claim 6, wherein Ar is a substituted or unsubstituted heterocyclic aromatic moiety.

10. The compound of claim 6, wherein Ar is a substituted or unsubstituted five- or six-membered aromatic moiety.

11. The compound of claim 6, wherein Ar is phenyl or pyridinyl.

12. The compound of claim 6 of formula:



(II)

wherein each X independently is hydrogen, fluorine, chlorine, bromine, or iodine;

n is 1, 2, 3, 4, or 5; and

each R_0 is independently hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted

with one or two alkyl or aryl moieties, N-hydroximino, or N-alkoxyimino; and pharmaceutically acceptable derivatives thereof.

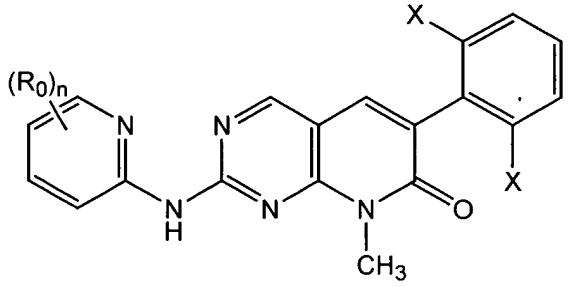
13. The compound of claim 12, wherein X is chlorine.

14. The compound of claim 12, wherein n is 1, 2, or 3.

15. The compound of claim 12, wherein n is 1.

16. The compound of claim 12, wherein each R_0 is independently amino, hydroxy, hydroxymethyl, acetamido, iodo, fluoro, bromo, iodo, ethyl, propyl, cyclopropyl, butyl, cyclopbutyl, pentyl, hexyl, cyclohexyl, (6-biotinamido)hexamido, (2,3-dihydroxypropoxy)methyl, (2,3-dihydropropyl)amino, (acrylamido)phenylamido, or 4-methylpiperazinylcarboxy.

17. The compound of claim 6 of the formula:

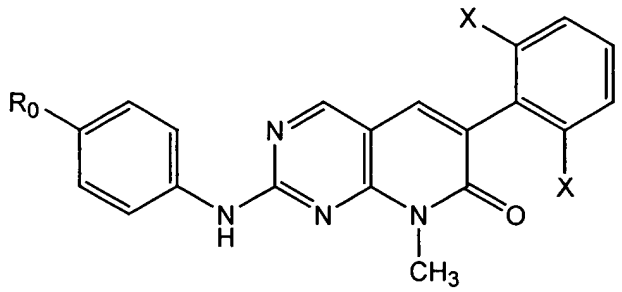


wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine;
n is 1, 2, 3, 4, or 5; and

each R_0 is independently hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted

with one or two alkyl or aryl moieties, N-hydroximino, or N-alkoxyimino; and pharmaceutically acceptable derivatives thereof.

18. The compound of claim 6 of formula:

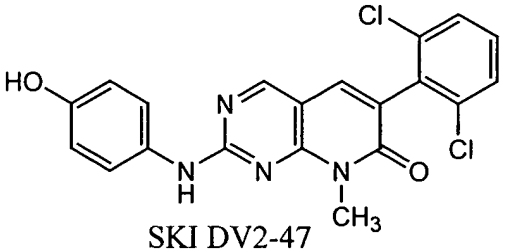


(IV)

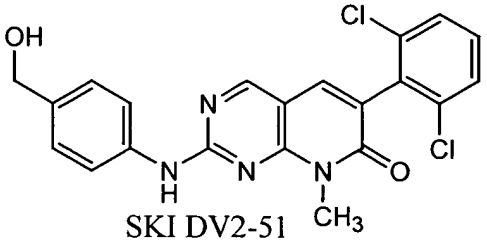
wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine; and

R_0 is hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted with one or two alkyl or aryl moieties, N-hydroximino, or N-alkoxyimino; and pharmaceutically acceptable derivatives thereof.

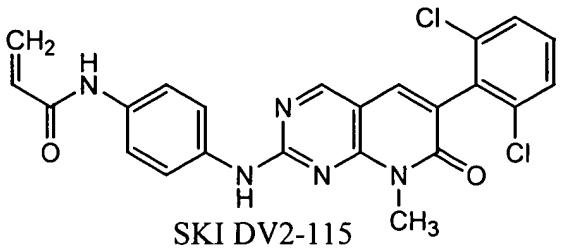
19. The compound of claim 6 selected from the group consisting of the formulae:



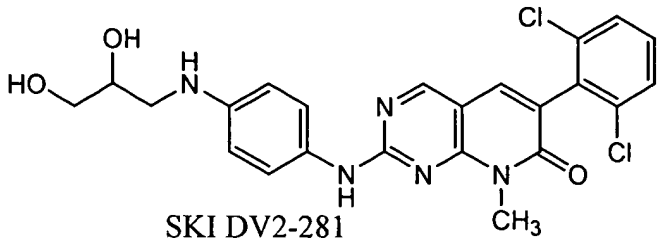
SKI DV2-47



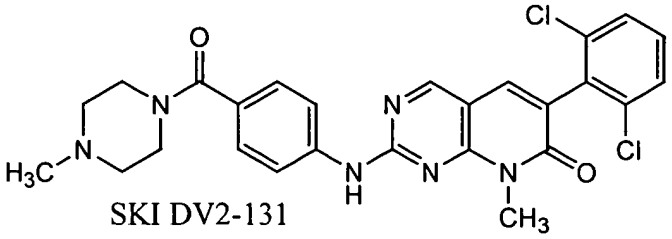
SKI DV2-51



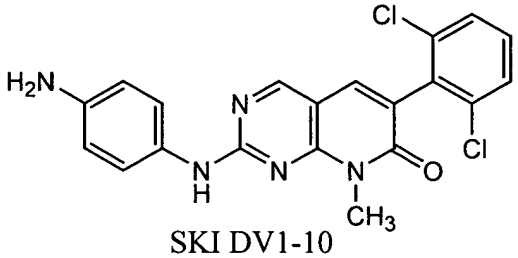
SKI DV2-115



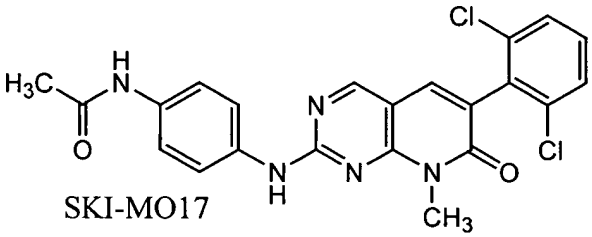
SKI DV2-281



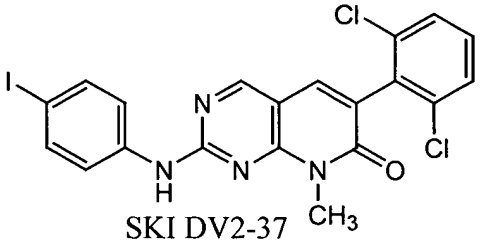
SKI DV2-131



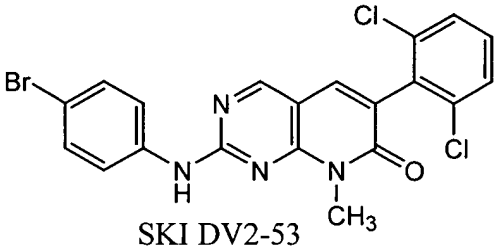
SKI DV1-10



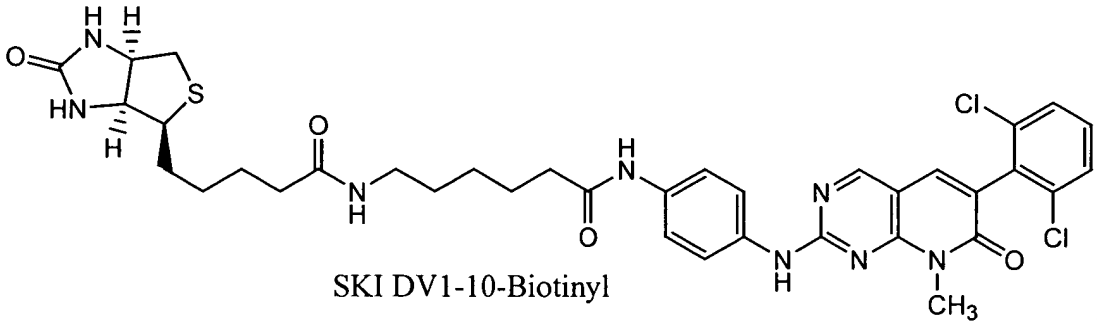
SKI-MO17



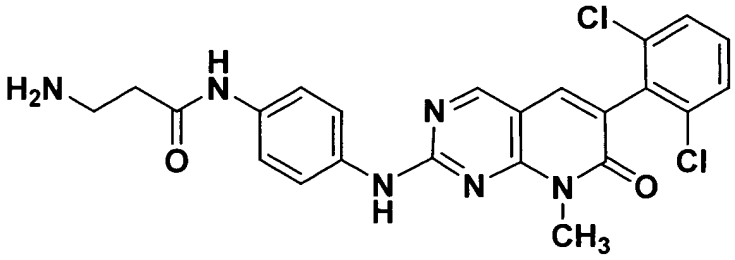
SKI DV2-37



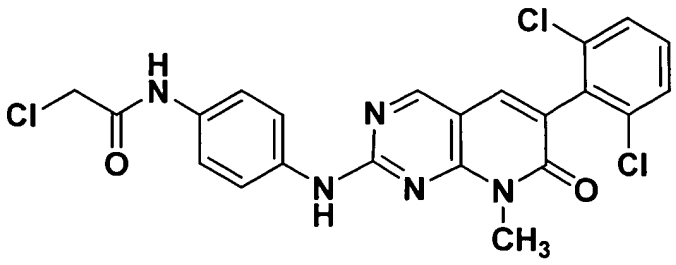
SKI DV2-53



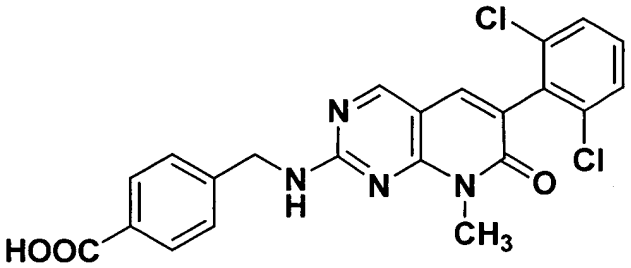
SKI DV1-10-Biotinyl



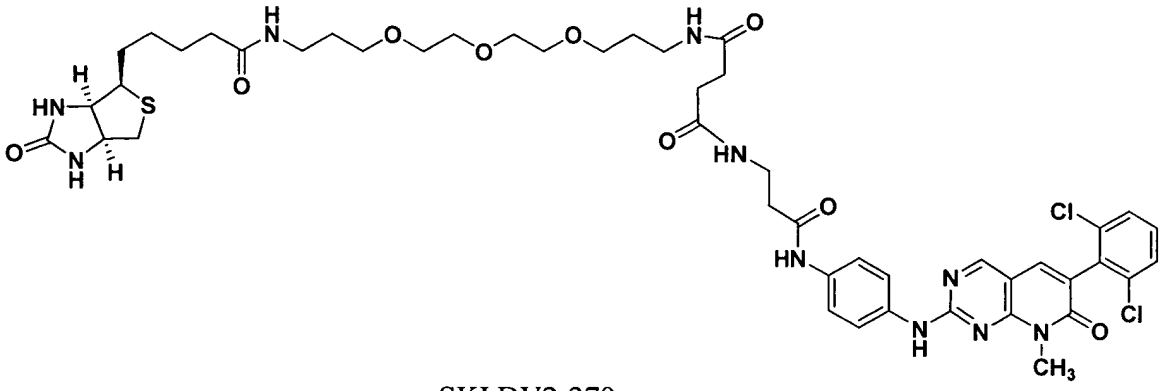
SKI DV2-273



SKI DV2-289

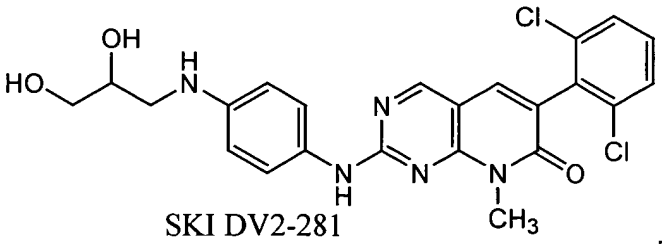


SKI DV2-171



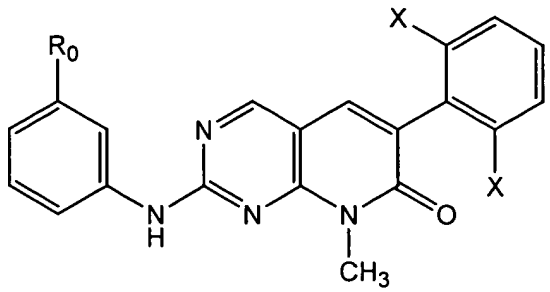
SKI DV2-279.

20. The compound of claim 6 of formula:



SKI DV2-281

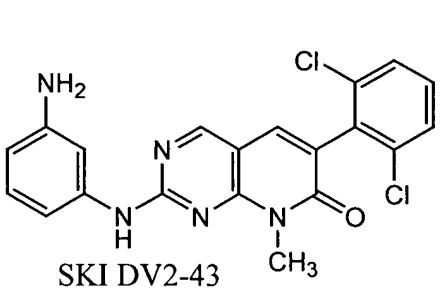
21. The compound of claim 6 of formula:



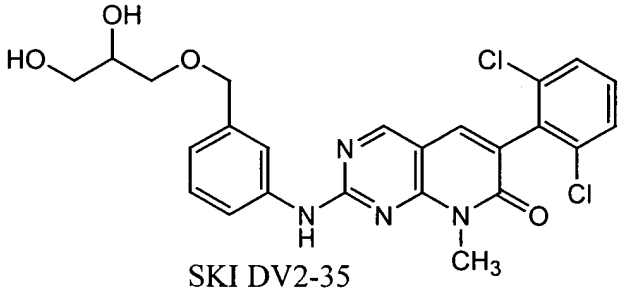
wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine; and

R_0 is hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted with one or two alkyl or aryl moieties, N-hydroximino, or N-alkoxyimino; and pharmaceutically acceptable derivatives thereof.

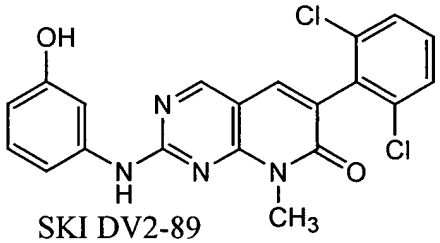
22. The compound of claim 6 selected from the group consisting of formulae:



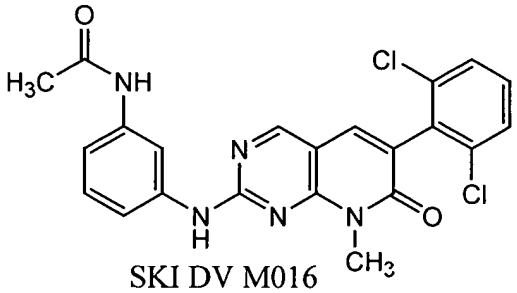
SKI DV2-43



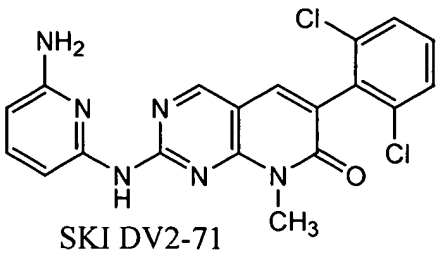
SKI DV2-35



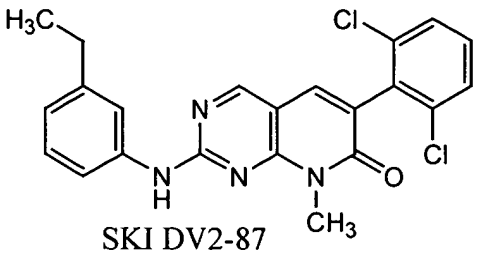
SKI DV2-89



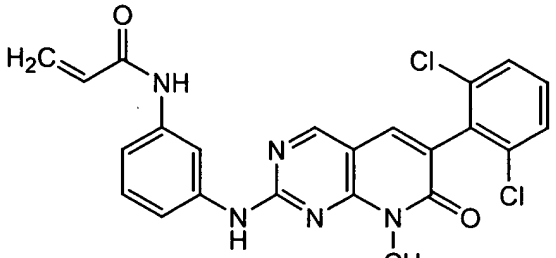
SKI DV M016



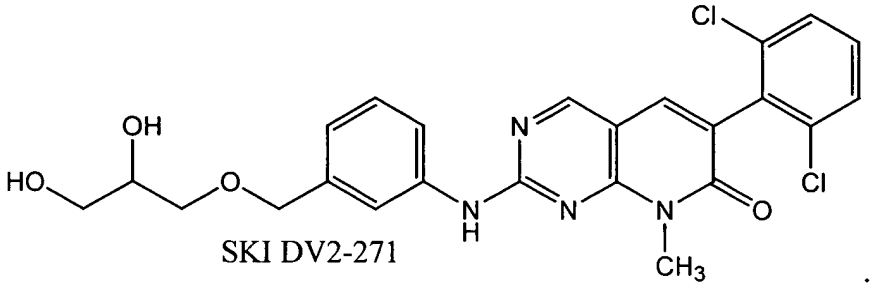
SKI DV2-71



SKI DV2-87

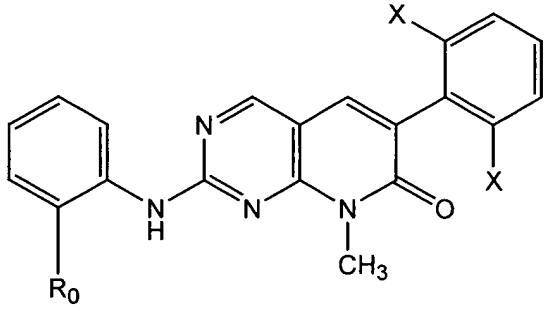


SKI DV2-115



SKI DV2-271

23. The compound of claim 6 of formula:



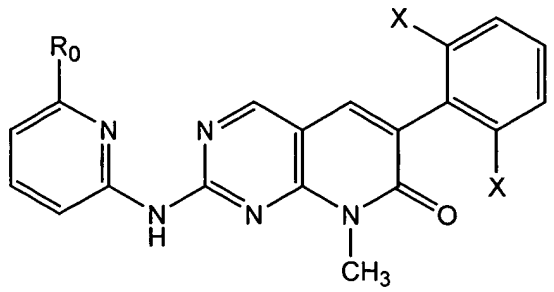
(VI)

wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine; and

R_0 is hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched

alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted with one or two alkyl or aryl moieties, N-hydroximino, or N-alkoxyimino; and pharmaceutically acceptable derivatives thereof.

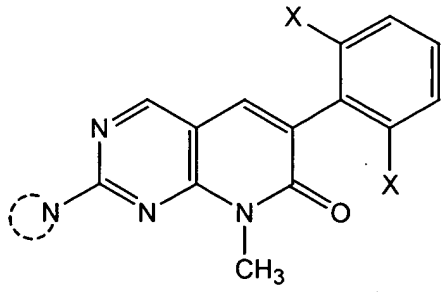
24. The compound of claim 6 of formula:



wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine; and

R_0 is hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted with one or two alkyl or aryl moieties, N-hydroximino, or N-alkoxyimino; and pharmaceutically acceptable derivatives thereof.

25. A compound of formula:

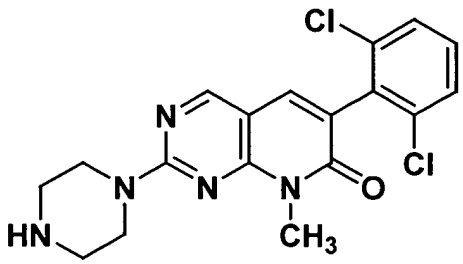


wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine; and

 is a substituted or unsubstituted heterocyclic moiety, wherein  is aromatic or nonaromatic; and pharmaceutically acceptable derivatives thereof.

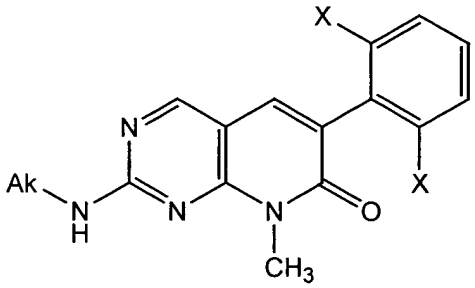
26. The compound of claim 25, wherein  is a pyrrolidine, piperidine, aziridine, azetidine, pyridine, pyrrole, oxazole, thiazole, indole, purine, carbazole, imidazole, isoxazole, pyrazole, or isothiazole moiety.

27. The compound of claim 25 of formula:



SKI DV2-103.

28. A compound of the formula:

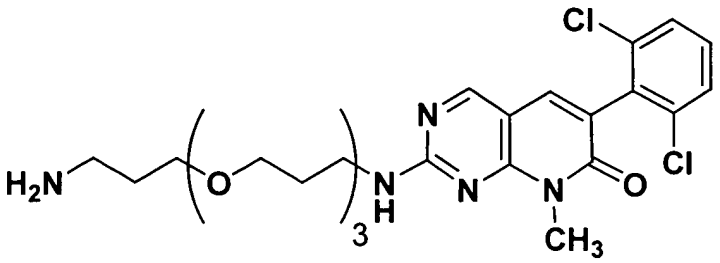


wherein each X is independently hydrogen, fluorine, chlorine, bromine, or iodine; and

Ak is a substituted or unsubstituted aliphatic or heterocyclicl moiety; and pharmaceutically acceptable derivatives thereof.

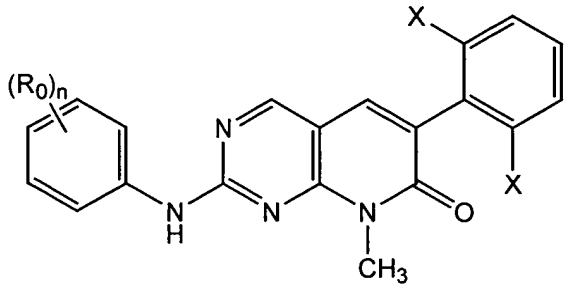
29. The compound of claim 28 wherein X is chlorine.

30. The compound of claim 28 of the formula:



SKI DV2-153.

31. A method of preparing a compound of formula **(II)**:



(II)

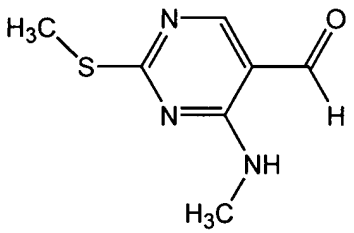
wherein each X independently is hydrogen, fluorine, chlorine, bromine, or iodine;

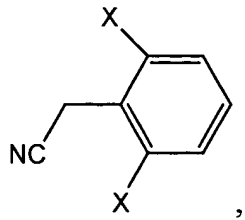
n is 1, 2, 3, 4, or 5; and

each R_0 is independently hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted with one or two alkyl or aryl moieties, N-hydroximino, or N-alkoxyimino;

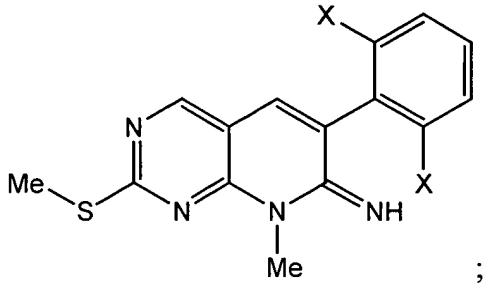
the method comprising steps of:

(a) reacting 4-methylamino-2-methylsulfanyl-pyrimidine-5-carbaldehdye:



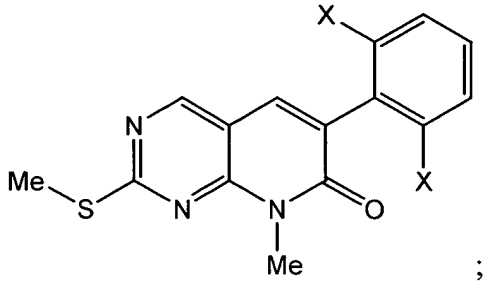


with a 2,6-dihalophenylacetonitrile, , under basic conditions to form a pyrido[2,3-*d*]pyrimidin-7-ylideneamine of formula:



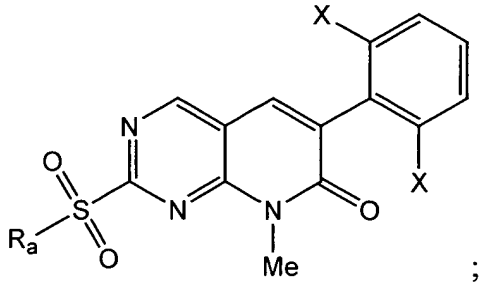
;

(b) treating the resulting pyrido[2,3-*d*]pyrimidin-7-ylideneamine with acetic anhydride and acid to form the corresponding pyrido[2,3-*d*]pyrimidin-7-one:



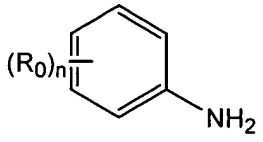
;

(c) oxidizing the pyrido[2,3-*d*]pyrimidin-7-one to form a sulfone of formula:



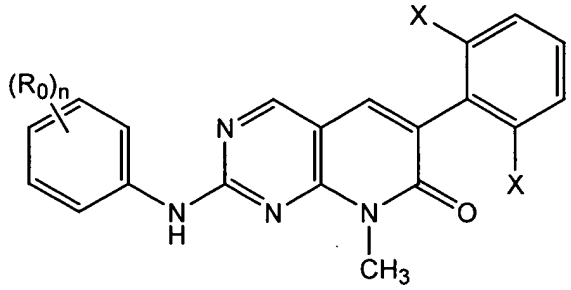
; and

(d) coupling the sulfone with an aniline of formula:



under suitable conditions to form the compound of formula **(II)**.

32. A method of preparing a compound of formula **(II)**:



(II)

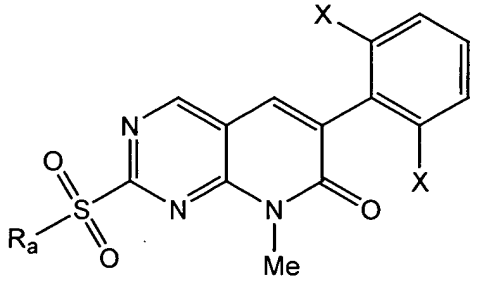
wherein each X independently is hydrogen, fluorine, chlorine, bromine, or iodine;

n is 1, 2, 3, 4, or 5; and

each R_0 is independently hydrogen; halogen; amino; protected amino; amino substituted with one or two alkyl or aryl moieties; alkoxy, carboxy, carboxaldehyde; acyl; linear or branched alkyl or cyclic acetal; substituted or unsubstituted, linear or branched, cyclic or acyclic aliphatic, heteroaliphatic, aryl, heteroaryl, arylalkyl, or heteroarylalkyl moiety, optionally substituted by one or more of hydroxy, protected hydroxy, alkoxy, carboxy, carboxaldehyde, linear or branched alkyl or cyclic acetal, halogen, amino, protected amino, amino substituted with one or two alkyl or aryl moieties, N-hydroximino, or N-alkoxyimino;

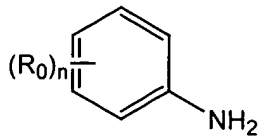
the method comprising steps of:

(a) reacting a sulfone having the structure:



wherein R_a is a C_1-C_6 alkyl moiety;

with an aniline of structure:



under suitable conditions to form the compound of formula **(II)**.

33. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable excipient.

34. A method of treating cancer comprising:

administering a therapeutically effective amount of a compound of claim 1 to a subject in need thereof.

35. The method of claim 34, wherein the therapeutically effective amount of the compound is an amount sufficient to deliver about 0.01 mg to about 75 mg compound per kg body weight.

36. The method of claim 34, wherein the cancer is drug resistant.

37. The method of claim 36, wherein the cancer is resistant to at least one other tyrosine kinase inhibitor.

38. The method of claim 36, wherein the cancer is resistant to Gleevec® (imatinib mesylate).

39. The method of claim 34 further comprising administering a therapeutically effective amount of an anti-neoplastic agent in addition to a compound of claim 1.

40. The method of claim 39 wherein the anti-neoplastic agent is a chemically unrelated tyrosine kinase inhibitor.